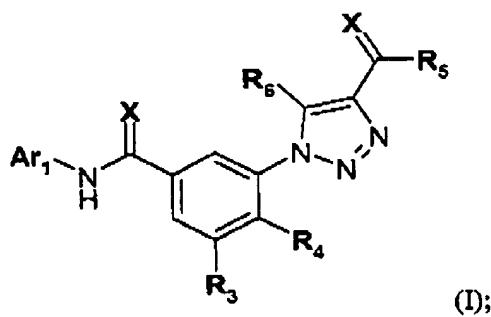


9/268

Current Listing of Claims**Claim 1 (previously amended): A compound of the formula (I)**

wherein:

Ar₁ is carbocycle substituted with one **R₁**, and wherein **Ar₁** is independently substituted with two **R₂** groups;

R₁ is NO_2 , $-\text{N}(\text{R}^{\text{c}})_2$, $\text{J}-\text{C}(\text{O})-$ $\text{N}(\text{R}^{\text{c}})$ - or $\text{J}-\text{S}(\text{O})_m-$ $\text{N}(\text{R}^{\text{c}})$ -

m is 0,1 or 2

and wherein **R^c** is chosen from hydrogen or C1-5 alkyl;

J is chosen from C1-10 alkyl and carbocycle each optionally substituted by **R^b**;

R₂ is chosen from C1-6 alkyl or C3-7 cycloalkyl which may optionally be partially or fully halogenated, C1-4 acyl, aroyl, C1-4 alkoxy, which may optionally be partially or fully halogenated, halogen, C1-6 alkoxy carbonyl, carbocyclesulfonyl and $-\text{SO}_2-\text{CF}_3$;

R₃, R₄, R₆, R₇ and R₈ are each independently chosen from hydrogen, halogen, C1-5 alkyl, C1-5 alkoxy, C1-5 alkyl C1-5 alkoxy, hydroxy, hydroxy C1-5 alkyl or amino optionally mono- or di-substituted by C1-5 alkyl, aryl or aryl C1-5 alkyl;

9/268

R_5 is chosen from a bond, -O-, -S-, -N<, -NH-, C(O), a linear chain chosen from -NH(CR₇R₈)_n-, -(CR₇R₈)_n-, -O(CR₇R₈)_n-, -C(O)-O(CR₇R₈)_n-, -S(CR₇R₈)_n-, C(O)(CR₇R₈)_n- and -C(O)NH(CR₇R₈)_n-, wherein n is 1-5 and each of the aforementioned R_5 is further substituted by R^a , or R_5 is aryl optionally substituted by R^a ;

R^a and R^b are each independently chosen from hydrogen, C1-5 alkyl, hydroxyC1-5 alkyl, C2-5 alkenyl, C2-5 alkynyl, carbocycle, C1-5 alkoxy, C1-5 alkylthio, amino, C1-5 alkylamino, C1-5 dialkylamino, C1-5 acyl, C1-5 alkoxy carbonyl, C1-5 acyloxy, C1-5 acylamino, each of the aforementioned are optionally partially or fully halogenated, or R^a and R^b are chosen from C1-5 alkylsulphonylamino, hydroxy, oxo, halogen, nitro and nitrile, and

each X is independently O or S or the pharmaceutically acceptable salts, acids, esters or isomers thereof.

Claim 2 (previously amended): The compound according to claim 1 wherein:

J is chosen from C1-10 alkyl, aryl or C3-7 cycloalkyl each optionally substituted by R^b ;

R_2 is independently chosen from C1-6 alkyl which may optionally be partially or fully halogenated, acetyl, aroyl, C1-4 alkoxy, which may optionally be partially or fully halogenated, halogen, methoxycarbonyl, phenylsulfonyl and $-SO_2-CF_3$;

n is 1-4;

R^a and R^b are each independently chosen from hydrogen, C1-5 alkyl, C2-5 alkenyl, C2-5 alkynyl, C3-8 cycloalkyl, C0-2 alkyl, aryl, C1-5 alkoxy, C1-5 alkylthio, amino, C1-5 alkylamino, C1-5 dialkylamino, C1-5 acyl, C1-5 alkoxy carbonyl, C1-5 acyloxy, C1-5 acylamino, C1-5 sulphonylamino, hydroxy, halogen, trifluoromethyl, nitro and nitrile;

9/268

R_7 is hydrogen;

and each X is O.

Claim 3 (original): The compound according to claim 2 wherein

R_5 is chosen from -O-, -S-, -NH-, C(O), a linear chain chosen from -NH(CR₇R₈)_n-, -(CR₇R₈)_n-, -O(CR₇R₈)_n-, -C(O)-O(CR₇R₈)_n-, -S(CR₇R₈)_n-, C(O)(CR₇R₈)_n- and -C(O)NH(CR₇R₈)_n-, wherein n is 1-3 and each of the aforementioned R_5 is further substituted by R^1 .

Claim 4 (previously amended): The compound according to claim 3 wherein

Ar^1 is chosen from cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and cycloheptyl, phenyl, naphthyl, tetrahydronaphthyl, indanyl and indenyl,

R^1 is NO₂, NH₂, C1-3acylNH- or the formula:

J-S(O)_m-N(R⁹)-;

J is C1-10 alkyl;

R_2 is independently chosen from C1-6 alkyl which may optionally be partially or fully halogenated and C1-3 alkoxy, which may optionally be partially or fully halogenated;

R_3 and R_4 are each independently chosen from hydrogen, C1-3 alkyl and chloro;

R_6 is chosen from hydrogen and amino;

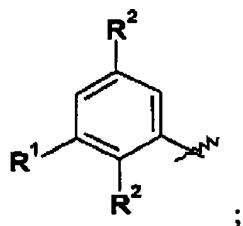
9/268

R_5 is: -NH-, C(O), a linear chain chosen from $-NH(CR_7R_8)_n-$, $-(CR_7R_8)_n-$, $-O(CR_7R_8)_n-$, $-C(O)-O(CR_7R_8)_n-$, $C(O)(CR_7R_8)_n-$ and $-C(O)NH(CR_7R_8)_n-$ wherein n is 1-2 and each of the aforementioned R_5 is further substituted by R^a ,

R^a and R^b are each independently chosen from hydrogen, C1-5 alkyl, C3-7 cycloalkylC0-2 alkyl, aryl, C1-5 alkoxy, amino, C1-5 alkylamino, C1-3 dialkylamino, C1-3 acyl, C1-5 alkoxy carbonyl, C1-3 acyloxy, C1-3 acylamino, C1-3 sulphonylamino, hydroxy, halogen, trifluoromethyl, nitro and nitrile.

Claim 5 (previously amended): The compound according to claim 4 wherein

Ar^1 is



R^1 is the formula:

$J-S(O)_2-NH-$;

J is C1-5 alkyl;

R_2 is independently chosen from C1-5 alkyl which may optionally be partially or fully halogenated and C1-2 alkoxy, which may optionally be partially or fully halogenated;

R_3 is hydrogen;

R_4 is chosen from hydrogen and methyl;

9/268

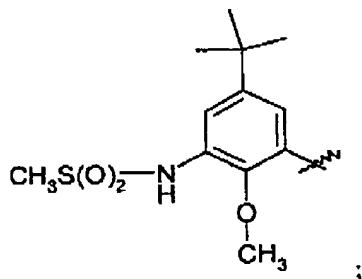
R_8 is chosen from hydrogen, methyl, ethyl, CH_2OH and CH_2OCH_3 .

Claim 6 (previously amended): The compound according to claim 5 wherein

R^a is chosen from hydrogen, C1-5 alkyl, C3-6 cycloalkyl, phenyl, C1-5 alkoxy, C1-5 alkoxycarbonyl, C1-3 acyloxy, C1-3 acylamino, hydroxyl and halogen.

Claim 7 (previously amended): The compound according to claim 6 wherein

Ar^1 is



R_5 is $-NH(CR_7R_8)_n-R^a$, wherein R^a is chosen from phenyl, cyclopropyl, cyclohexyl, C1-5 alkyl and C1-3 alkoxy.

Claim 8 (previously amended): A compound chosen from

1-[5-(3-Methanesulfonylamino-2-methoxy-5-trifluoromethyl-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid methyl ester

1-[5-(5-*tert*-Butyl-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((R)-1-phenyl-ethyl)-amide

9/268

1-[5-(5-*tert*-Butyl-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid benzylamide

1-[5-(5-*tert*-Butyl-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid methyl ester

1-[3-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid ((R)-1-phenyl-ethyl)-amide

1-[3-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid benzylamide

1-[3-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid (2,2-dimethyl-propyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2,3-dimethyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid benzylamide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2,3-dimethyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid methyl ester

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-chloro-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((R)-1-phenyl-ethyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-chloro-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((R)-1-phenyl-propyl)-amide

9/268

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-chloro-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid (2,2-dimethyl-propyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-chloro-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ethyl ester

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-chloro-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid methyl ester

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-fluoro-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid benzylamide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-fluoro-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid (2,2-dimethyl-propyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-3-fluoro-2-methyl-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid (2,2-dimethyl-propyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((R)-1,2,2-trimethyl-propyl)-amide

1-[5-[3-Methanesulfonylamino-2-methoxy-5-(2,2,2-trifluoro-1-trifluoromethyl-ethyl)-phenylcarbamoyl]-2-methyl-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid ((R)-1-phenyl-ethyl)-amide

9/268

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((R)-1-cyclohexyl-ethyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((R)-1-phenyl-propyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((S)-1,2,2-trimethyl-propyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((S)-1-cyclohexyl-ethyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((S)-1-phenyl-ethyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((S)-2-dimethylamino-1-phenyl-ethyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid ((R)-3-dimethylamino-1-phenyl-propyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((S)-2-methoxy-1-phenyl-ethyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid (1-methyl-1-phenyl-ethyl)-amide

9/268

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid (2,2-dimethyl-propyl)-amide

1-[5-(3-Amino-5-*tert*-butyl-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid (2,2-dimethyl-propyl)-amide

1-[5-[3-Methanesulfonylamino-2-methoxy-5-(1-methyl-cyclopropyl)-phenylcarbamoyl]-2-methyl-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid (2,2-dimethyl-propyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid (2-dimethylamino-ethyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid (2-hydroxy-2-methyl-propyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid (3-dimethylamino-2,2-dimethyl-propyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid (2-dimethylamino-2-methyl-propyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid 3-methyl-benzylamide

9/268

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid benzylamide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid phenylamide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid p-tolylamide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid m-tolylamide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid o-tolylamide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid benzyl-methyl-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid ((S)-2-dimethylamino-1-phenyl-ethyl)-methyl-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid cyclohexylmethyl-amide

9/268

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid cyclopentylamide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid cyclopentylmethylamide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid cyclopropylamide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid cyclopropylmethylamide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ethyl ester

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid methyl ester

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid methylamide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid *tert*-butylamide

1-[5-[3-Methanesulfonylamino-2-methoxy-5-(2,2,2-trifluoro-1-trifluoromethyl-ethyl)-phenylcarbamoyl]-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ethyl ester

9/268

3-(4-Benzoyl-1,2,3-triazol-1-yl)-*N*-(5-*tert*-butyl-3-methanesulfonylamino-2-methoxy-phenyl)-4-methyl-benzamide

3-{1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carbonyl}-benzoic acid methyl ester

5-Amino-1-[5-(5-*tert*-butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((*R*)-1-phenyl-ethyl)-amide

5-Amino-1-[5-(5-*tert*-butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid (2,2-dimethyl-propyl)-amide

5-Amino-1-[5-(5-*tert*-butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid ((*S*)-1,2,2-trimethyl-propyl)-amide

5-Amino-1-[5-(5-*tert*-butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid (3-dimethylamino-2,2-dimethyl-propyl)-amide

5-Amino-1-[5-(5-*tert*-butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid (3-methyl ester

N-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenyl)-3-(4-cyclohexanecarbonyl-1,2,3-triazol-1-yl)-4-methyl-benzamide

N-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenyl)-3-[4-((*S*)-3-hydroxy-2-phenyl-propionyl)-1,2,3-triazol-1-yl]-4-methyl-benzamide

9/268

N-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenyl)-3-[4-(2,6-dichloro-benzoyl)-1,2,3-triazol-1-yl]-4-methyl-benzamide

N-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenyl)-3-[4-(2,6-dimethyl-benzoyl)-1,2,3-triazol-1-yl]-4-methyl-benzamide

N-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenyl)-4-methyl-3-[4-((R)-2-phenyl-propionyl)-1,2,3-triazol-1-yl]-benzamide and

N-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenyl)-4-methyl-3-[4-(2-methyl-benzoyl)-1,2,3-triazol-1-yl]-benzamide

or the pharmaceutically acceptable salts, acids, esters or isomers thereof.

Claim 9 (previously amended): A compound chosen from

1-[5-(5-*tert*-Butyl-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((R)-1-phenyl-ethyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-chloro-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((R)-1-phenyl-ethyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-chloro-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((R)-1-phenyl-propyl)-amide

9/268

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((R)-1,2,2-trimethyl-propyl)-amide

1-[5-(3-Amino-5-*tert*-butyl-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid (2,2-dimethyl-propyl)-amide

1-{5-[3-Methanesulfonylamino-2-methoxy-5-(1-methyl-cyclopropyl)-phenylcarbamoyl]-2-methyl-phenyl}-1*H*-[1,2,3]triazole-4-carboxylic acid (2,2-dimethyl-propyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((R)-1-cyclohexyl-ethyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((R)-1-phenyl-propyl)-amide

1-{5-[3-Methanesulfonylamino-2-methoxy-5-(2,2,2-trifluoro-1-trifluoromethyl-ethyl)-phenylcarbamoyl]-2-methyl-phenyl}-1*H*-[1,2,3]triazole-4-carboxylic acid ((R)-1-phenyl-ethyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((S)-2-methoxy-1-phenyl-ethyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid (2-hydroxy-2-methyl-propyl)-amide

9/268

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid (3-dimethylamino-2,2-dimethyl-propyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid cyclohexylmethyl-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid cyclopentylamide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid cyclopentylmethyl-amide

5-Amino-1-[5-(5-*tert*-butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((*R*)-1-phenyl-ethyl)-amide

5-Amino-1-[5-(5-*tert*-butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid (2,2-dimethyl-propyl)-amide

5-Amino-1-[5-(5-*tert*-butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid ((*S*)-1,2,2-trimethyl-propyl)-amide

5-Amino-1-[5-(5-*tert*-butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid (3-dimethylamino-2,2-dimethyl-propyl)-amide

1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-[1,2,3]triazole-4-carboxylic acid o-tolylamide

9/268

*N-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenyl)-3-(4-cyclohexanecarbonyl-1,2,3-triazol-1-yl)-4-methyl-benzamide*

*N-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenyl)-3-[4-((S)-3-hydroxy-2-phenyl-propionyl)-1,2,3-triazol-1-yl]-4-methyl-benzamide*

*N-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenyl)-4-methyl-3-[4-((R)-2-phenyl-propionyl)-1,2,3-triazol-1-yl]-benzamide and*

*1-[5-(5-*tert*-Butyl-3-methanesulfonylamino-2-methoxy-phenylcarbamoyl)-2-methyl-phenyl]-1*H*-1,2,3-triazole-4-carboxylic acid ((S)-2-dimethylamino-1-phenyl-ethyl)-amide*

or the pharmaceutically acceptable salts, acids, esters or isomers thereof.

Claim 10 (withdrawn - currently amended): A method of treating a disease or condition chosen from:

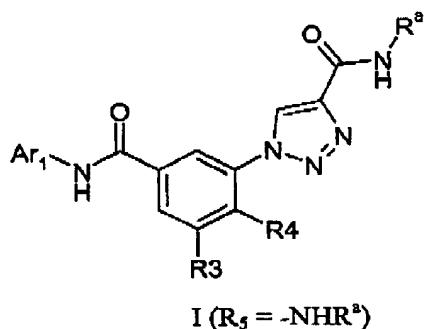
osteoarthritis, atherosclerosis, contact dermatitis, bone resorption diseases, reperfusion injury, asthma, multiple sclerosis, Guillain-Barre syndrome, Crohn's disease, ulcerative colitis, psoriasis, graft versus host disease, systemic lupus erythematosus ~~and insulin-dependent diabetes mellitus~~, rheumatoid arthritis, toxic shock syndrome, Alzheimer's disease, diabetes, inflammatory bowel diseases, acute and chronic pain, stroke, myocardial infarction, ~~alone or following thrombolytic therapy~~, thermal injury, adult respiratory distress syndrome (ARDS), multiple organ injury secondary to trauma, acute glomerulonephritis, dermatoses with acute inflammatory components, acute purulent meningitis, ~~syndromes associated with hemodialysis, leukopheresis, granulocyte transfusion associated syndromes, necrotizing enterocolitis, complications including~~ restenosis following percutaneous transluminal coronary angioplasty, ~~traumatic arthritis, sepsis, chronic obstructive pulmonary disease and congestive heart failure~~ said method

9/268

comprising administering to a patient a pharmaceutically effective amount of a compound according to claim 1.

Claim 11 (cancelled).

Claim 12 (withdrawn): A process of making a compound of the formula:



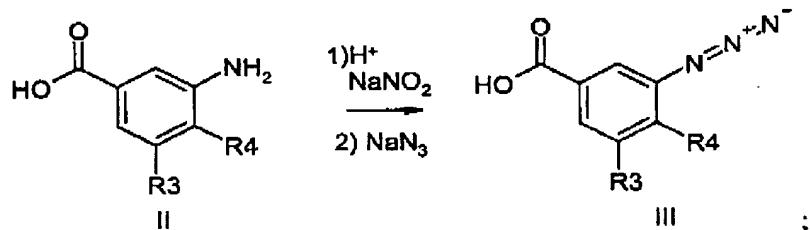
wherein Ar_1 , R_3 , R_4 and R^a are as defined in claim 1 and R_5 is $-NHR^a$;

said process comprising:

reacting a 3-aminobenzoic acid (II) with $NaNO_2$ in an aqueous acid at about 0 °C;

reacting the formed diazonium salt *in situ* with a cold aqueous solution of NaN_3 at about

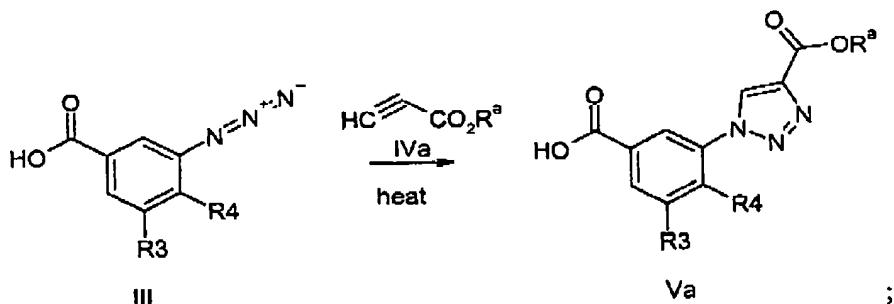
0 °C to provide the azide III:



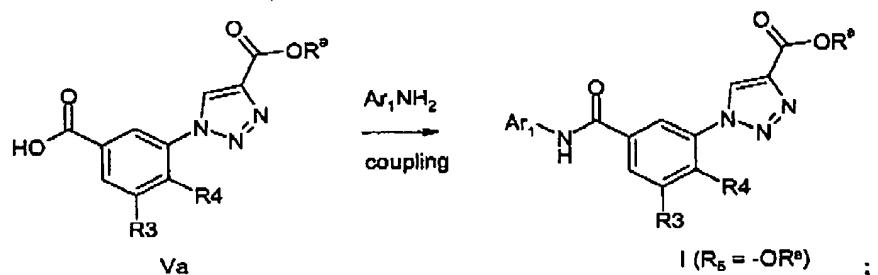
reacting the azide III with an alkyne ester IVa in a suitable solvent at about 100 °C to 120

°C, or with a copper catalyst to provide triazole Va and its regioisomer:

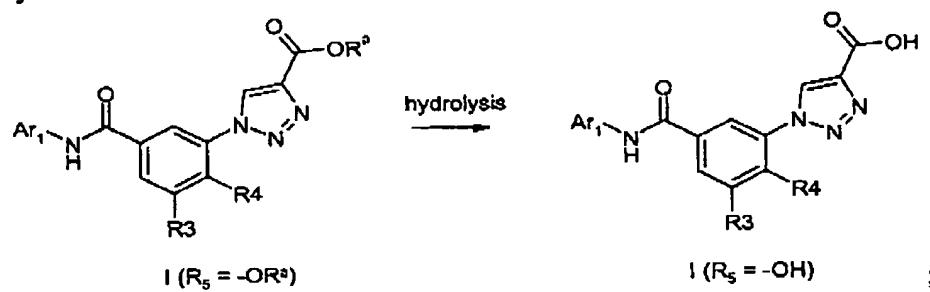
9/268



coupling under suitable conditions the intermediate **Va** and Ar_1NH_2 intermediate to produce the ester of formula I (R_5 is $-\text{OR}^8$):

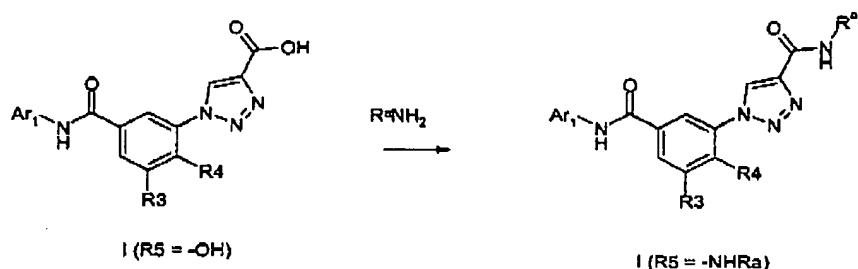


hydrolyzing the ester of formula I with aqueous base in a suitable solvent to provide the carboxylic acid of formula I ($R_5 = -OH$):



coupling the carboxylic acid of formula I with amine R^aNH_2 under suitable coupling conditions to provide the product compound of formula I ($R_5 = -NHR^a$):

9/268



Claim 13 (original): A pharmaceutical composition containing a pharmaceutically effective amount of a compound according to claim 1 and one or more pharmaceutically acceptable carriers and/or adjuvants.

Claim 14 (previously presented): The compound according to claim 5 and wherein: R^4 is methyl;

R^8 is chosen from hydrogen, C1-5 alkyl, C3-6 cycloalkylC0-2 alkyl, phenyl, C1-5 alkoxy, amino, C1-5 alkylamino, C1-3 dialkylamino, C1-3 acyl, C1-5 alkoxy carbonyl, C1-3 acyloxy, C1-3 acylamino, hydroxyl and halogen.